

Predecessor Serial No.: 10/258,053

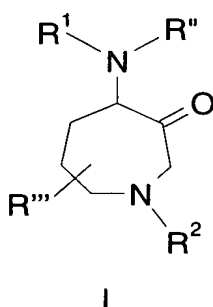
Group Art Unit No.:

Amendments to the claims:

~~We Claim:~~

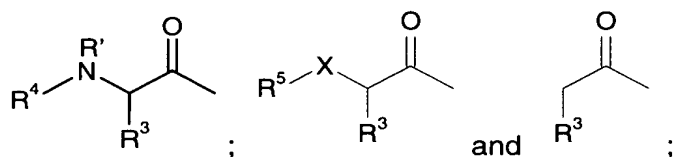
What is claimed is:

1. A method of inhibiting cathepsin S, comprising administering to a patient in need thereof an effective amount of a compound of Formula I:

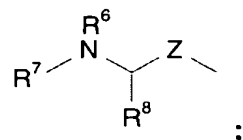
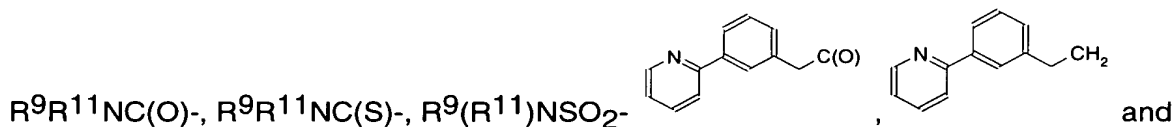


wherein:

R¹ is selected from the group consisting of:



R² is selected from the group consisting of: H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, Het-C₀₋₆alkyl, R⁹C(O)-, R⁹C(S)-, R⁹SO₂-, R⁹OC(O)-,



Predecessor Serial No.: 10/258,053

Group Art Unit No.:

R³ is selected from the group consisting of: H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, HetC₀₋₆alkyl, ArC₀₋₆alkyl, Ar-ArC₀₋₆alkyl, Ar-HetC₀₋₆alkyl, Het-ArC₀₋₆alkyl, and Het-HetC₀₋₆alkyl;

R³ and R' may be connected to form a pyrrolidine, piperidine or morpholine ring;

R⁴ is selected from the group consisting of: H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, Het-C₀₋₆alkyl, R⁵C(O)-, R⁵C(S)-, R⁵SO₂-, R⁵OC(O)-, R⁵R¹³NC(O)-, and R⁵R¹³NC(S)-;

R⁵ is selected from the group consisting of: H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl and Het-C₀₋₆alkyl;

R⁶ is selected from the group consisting of: H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, and Het-C₀₋₆alkyl;

R⁷ is selected from the group consisting of: H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, Het-C₀₋₆alkyl, R¹⁰C(O)-, R¹⁰C(S)-, R¹⁰SO₂-, R¹⁰OC(O)-, R¹⁰R¹⁴NC(O)-, and R¹⁰R¹⁴NC(S)-;

R⁸ is selected from the group consisting of: H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, HetC₀₋₆alkyl and ArC₀₋₆alkyl;

R⁹ is selected from the group consisting of: C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl and Het-C₀₋₆alkyl;

R¹⁰ is selected from the group consisting of: C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl and Het-C₀₋₆alkyl;

R¹¹ is selected from the group consisting of: H, C₁₋₆alkyl, Ar-C₀₋₆alkyl, and Het-C₀₋₆alkyl;

R¹² is selected from the group consisting of: H, C₁₋₆alkyl, Ar-C₀₋₆alkyl, and Het-C₀₋₆alkyl;

R¹³ is selected from the group consisting of: H, C₁₋₆alkyl, Ar-C₀₋₆alkyl, and Het-C₀₋₆alkyl;

R¹⁴ is selected from the group consisting of: H, C₁₋₆alkyl, Ar-C₀₋₆alkyl, and Het-C₀₋₆alkyl;

Predecessor Serial No.: 10/258,053

Group Art Unit No.:

R' is selected from the group consisting of: H, C₁₋₆alkyl, Ar-C₀₋₆alkyl, and Het-C₀₋₆alkyl;

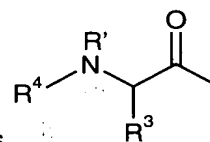
R'' is selected from the group consisting of: H, C₁₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl;

R''' is selected from the group consisting of: H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, and Het-C₀₋₆alkyl;

X is selected from the group consisting of: CH₂, S, and O;

Z is selected from the group consisting of: C(O) and CH₂;

and pharmaceutically acceptable salts, hydrates and solvates thereof.



2. A method according to Claim 1 wherein in said compound R¹ is

3. A method according to Claim 2 wherein in said compound R³ is C₃₋₆cycloalkyl-C₀₋₆alkyl.

4. A method according to Claim 3 wherein in said compound R³ is cyclohexylmethyl.

5. A method according to Claim 2 wherein in said compound R⁴ is R⁵C(O)-.

6. A method according to Claim 5 wherein in said compound R⁵ is selected from the group consisting of: C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl and Het-C₀₋₆alkyl.

7. A method according to Claim 6 wherein in said compound R⁵ is selected from the group consisting of:

furanyl;

benzofuranyl;

thiophenyl;

furo[3,2-b]-pyridine-2-yl;

thiazolyl;

phenyl;

Predecessor Serial No.: 10/258,053

Group Art Unit No.:

cyclobutyl;
cyclopentyl;
tetrahydrofuranyl;
selenophenyl; and
thieno[3,2-b]thiophenyl.

8. A method according to Claim 6 wherein in said compound R⁵ is selected from the group consisting of:

furan-2-yl and furan-3-yl;
benzofuran-2-yl;
thiophene-3-yl and thiophene-2-yl;
furo[3,2-b]-pyridine-2-yl;
thiazole-5-yl;
tetrahydrofuran-2-yl;
selenophene-2-yl; and
thieno[3,2-b]thiophene-2-yl.

9. A method according to Claim 6 wherein in said compound R⁵ is selected from the group consisting of:

aryl substituted furanyl;
C₁₋₆alkoxy substituted benzofuranyl;
Het-C₀₋₆alkyl-thiophenyl, C₁₋₆alkyl-thiophenyl and C₁₋₆alkoxy-thiophenyl,
C₁₋₆alkyl-furo[3,2-b]-pyridine-2-yl,
Het-C₀₋₆alkyl-thiazolyl; and
halogen substituted phenyl.

10. A method according to Claim 6 wherein in said compound R⁵ is selected from the group consisting of:

5-(3-trifluoromethyl-phenyl)-furan-2-yl and 5-(4-chloro-phenyl)-furan-2-yl;
5,6-dimethoxy-benzofuran-2-yl and 5-(2-morpholin-4-yl-ethoxy)benzofuran-2-yl;

Predecessor Serial No.: 10/258,053

Group Art Unit No.:

5-pyridin-2-yl- thiophene-2-yl, 5-methyl-thiophene-2-yl, 3-methyl-thiophene-2-yl; and 3-ethoxy-thiophene-2-yl;

3-methyl-furo[3,2-b]-pyridine-2-yl;

4-methyl-2-pyridin-2-yl-thiazole-5-yl; and

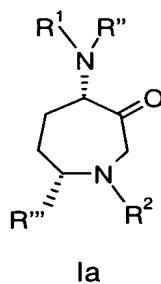
4-bromophenyl.

11. A method according to Claim 1 wherein in said compound R' is H.
12. A method according to Claim 1 wherein in said compound R" is H.
13. A method according to Claim 1 wherein in said compound R''' is selected from the group consisting of: H and C₁₋₆alkyl.
14. A method according to Claim 1 wherein in said compound R" is H and R''' is selected from the group consisting of: H and C₁₋₆alkyl.
15. A method according to Claim 13 wherein in said compound R''' is H.
16. A method according to Claim 13 wherein in said compound R''' is C₁₋₆alkyl.
17. A compound according to Claim 16 wherein C₁₋₆alkyl is selected from the group consisting of: 5-, 6- and 7-C₁₋₆alkyl.
18. A compound according to Claim 17 wherein 5-, 6- and 7-C₁₋₆alkyl is selected from the group consisting of: 5-, 6- or 7- methyl, -ethyl, -propyl, -butyl, -pentyl, and -hexyl.
19. A compound according to Claim 21 wherein 5-, 6- and 7-C₁₋₆alkyl is selected from the group consisting of: 5-, 6- and 7-methyl.
20. A compound according to Claim 16 wherein C₁₋₆alkyl is selected from the group consisting of: 6- and 7-C₁₋₆alkyl.

Predecessor Serial No.: 10/258,053

Group Art Unit No.:

21. A compound according to Claim 20 wherein 6- and 7-C₁₋₆alkyl is selected from the group consisting of: 6- or 7- methyl, -ethyl, -propyl, -butyl, -pentyl, and -hexyl.
22. A compound according to Claim 21 wherein 6- and 7-C₁₋₆alkyl is selected from the group consisting of: 6- and 7-methyl.
23. A compound according to Claim 16 wherein C₁₋₆alkyl is 7-C₁₋₆alkyl.
24. A compound according to Claim 23 wherein 7-C₁₋₆alkyl is selected from the group consisting of: 7- methyl, -ethyl, -propyl, -butyl, -pentyl, and -hexyl.
25. A compound according to Claim 24 wherein 7-C₁₋₆alkyl is 7-methyl.
26. A compound according to Claim 16 of Formula Ia:



wherein R³ is *cis*-7-C₁₋₆alkyl.

27. A compound according to Claim 26 wherein R³ is *cis*-7-methyl.
28. A method according to Claim 1 wherein in said compound R² is R⁹SO₂.
29. A method according to Claim 28 wherein in said compound R⁹ is Het-C₀₋₆alkyl.
30. A method according to Claim 29 wherein Het-C₀₋₆alkyl is selected from the group consisting of: pyridinyl and 1-oxy-pyridinyl.

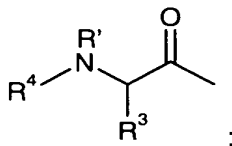
Predecessor Serial No.: 10/258,053

Group Art Unit No.:

31. A method according to Claim 30 wherein R^9 is pyridin-2-yl.

32. A method according to Claim 1 wherein in said compound:

R^1 is



R^2 is R^9SO_2 ;

R^3 is C_{3-6} cycloalkyl- C_{0-6} alkyl;

R^4 is $R^5C(O)$;

R^5 is Het- C_{0-6} alkyl;

R^9 is Het- C_{0-6} alkyl;

R' is H

R'' is H; and

R''' is C_{1-6} alkyl.

33. A method according to Claim 1 wherein in said compound:

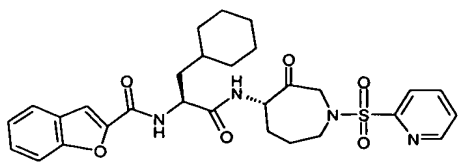
R^3 is cyclohexylmethyl;

R^5 is selected from the group consisting of: furan-2-yl and thiophene-3-yl;

R^9 is pyridin-2-yl; and

R''' is 7- methyl.

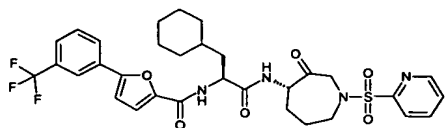
34. A method according to Claim 1 wherein said compound is selected from the group consisting of:



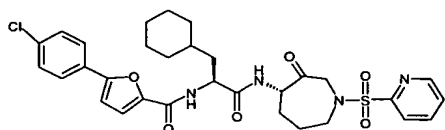
Benzofuran-2-carboxylic acid ((S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl)-amide;

Predecessor Serial No.: 10/258,053

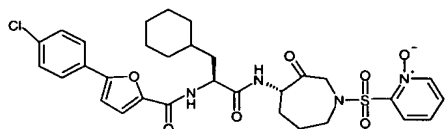
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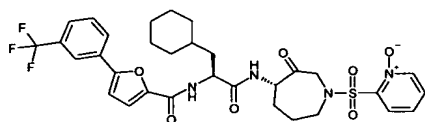
5-(3-Trifluoromethyl-phenyl)-furan-2-carboxylic acid ((S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl)-amide;



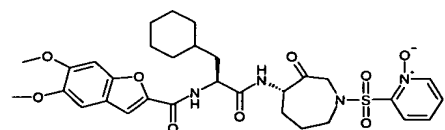
5-(4-Chloro-phenyl)-furan-2-carboxylic acid ((S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl)-amide;



5-(4-Chloro-phenyl)-furan-2-carboxylic acid ((S)-2-cyclohexyl-1-[3-oxo-1-(1-oxy-pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl)-amide;



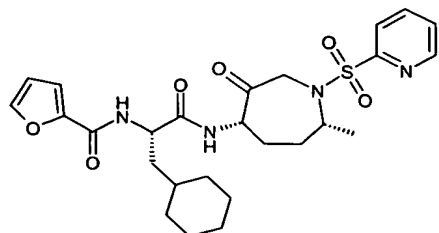
5-(3-Trifluoromethyl-phenyl)-furan-2-carboxylic acid ((S)-2-cyclohexyl-1-[3-oxo-1-(1-oxy-pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl)-amide;



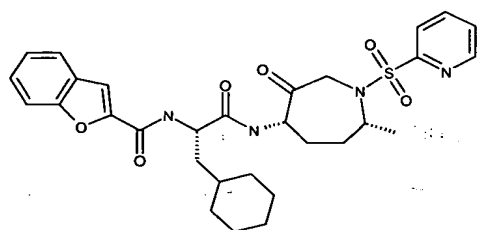
5,6-Dimethoxy-benzofuran-2-carboxylic acid ((S)-2-cyclohexyl-1-[3-oxo-1-(1-oxy-pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl)-amide; and

Predecessor Serial No.: 10/258,053

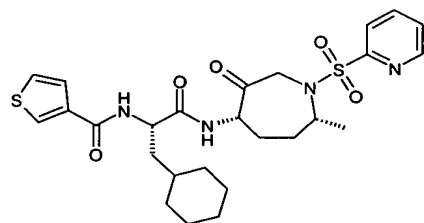
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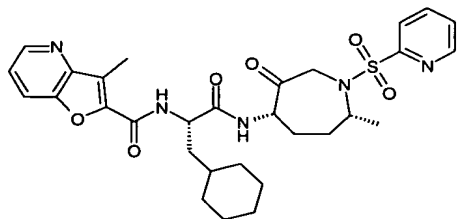
furan-2-carboxylic acid {(S)-2-cyclohexyl-1-[(4S,7R)-7-methyl-3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl}-amide;



benzofuran-2-carboxylic acid {(S)-2-cyclohexyl-1-[(4S,7R)-7-methyl-3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl}-amide;



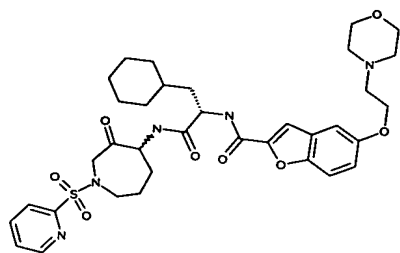
thiophene-3-carboxylic acid {(S)-2-cyclohexyl-1-[(4S,7R)-7-methyl-3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl}-amide;



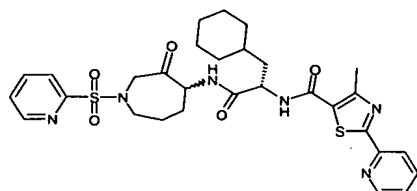
3-methyl-furo[3,2-b]-pyridine-2-carboxylic acid {(S)-2-cyclohexyl-1-[(4S,7R)-7-methyl-3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl}-amide;

Predecessor Serial No.: 10/258,053

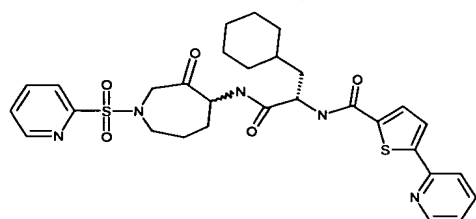
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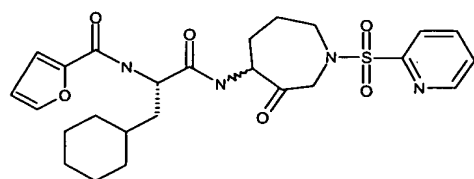
5-(2-morpholin-4-yl-ethoxy)-benzofuran-2-carboxylic acid {(S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbonyl]-ethyl}-amide;



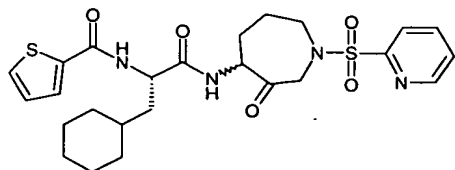
4-methyl-2-pyridin-2-yl-thiazole-5-carboxylic acid {(S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbonyl]-ethyl}-amide;



5-pyridin-2-yl-thiophene-2-carboxylic acid {(S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbonyl]-ethyl}-amide;



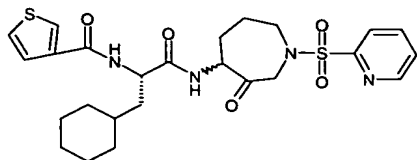
furan-2-carboxylic acid {(S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbonyl]-ethyl}-amide;



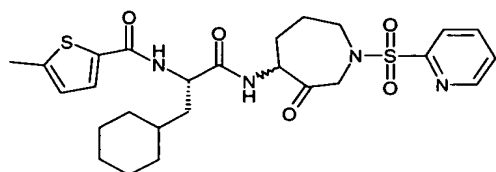
thiophene-2-carboxylic acid {(S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbonyl]-ethyl}-amide;

Predecessor Serial No.: 10/258,053

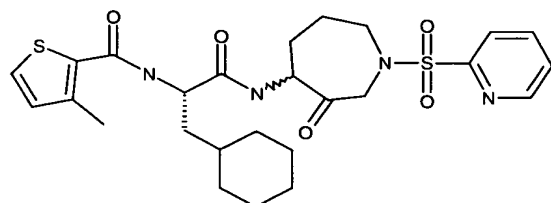
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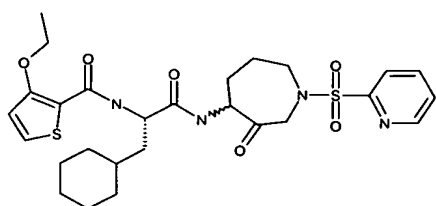
thiophene-3-carboxylic acid ((S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl)-amide;



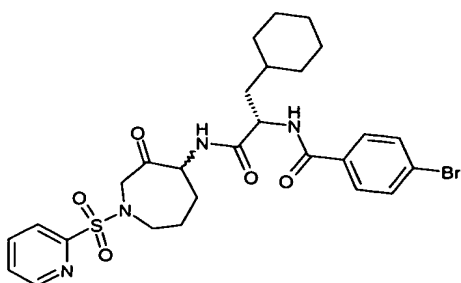
5-methyl-thiophene-2-carboxylic acid ((S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl)-amide;



3-methyl-thiophene-2-carboxylic acid ((S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl)-amide;



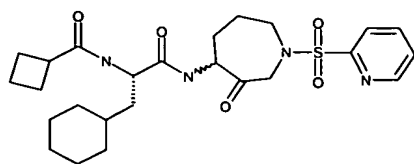
3-ethoxy-thiophene-2-carboxylic acid ((S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl)-amide;



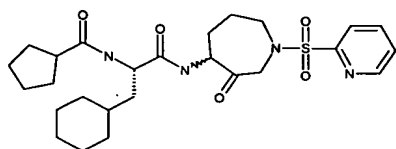
Predecessor Serial No.: 10/258,053

Group Art Unit No.:

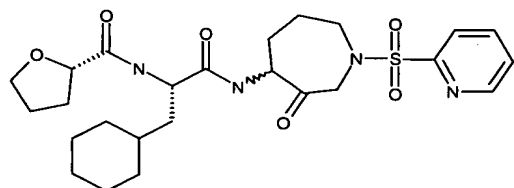
4-bromo-N-((S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl)-benzamide;



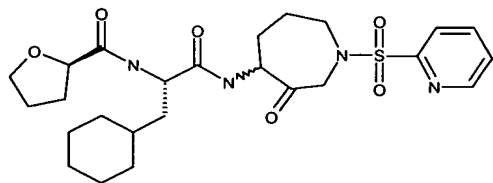
cyclobutanecarboxylic acid ((S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl)-amide;



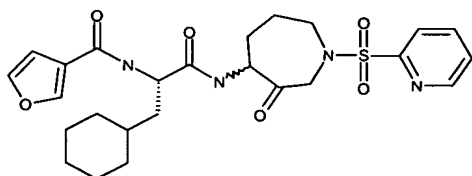
cyclopentanecarboxylic acid ((S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl)-amide;



(S)-tetrahydro-furan-2-carboxylic acid ((S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl)-amide;



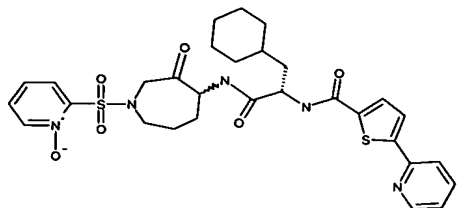
(R)-tetrahydro-furan-2-carboxylic acid ((S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl)-amide;



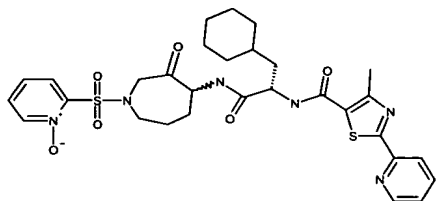
furan-3-carboxylic acid ((S)-2-cyclohexyl-1-[3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl)-amide;

Predecessor Serial No.: 10/258,053

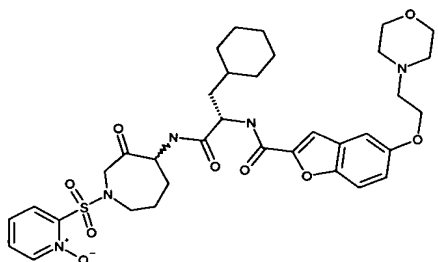
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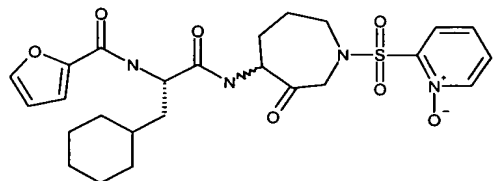
5-pyridin-2-yl-thiophene-2-carboxylic acid {(S)-2-cyclohexyl-1-[3-oxo-1-(1-oxy-pyridine-2-sulfonyl)-azepan-4-ylcarbonyl]-ethyl}-amide;



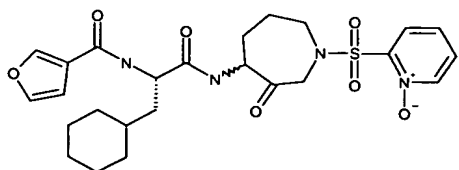
4-methyl-2-pyridin-2-yl-thiazole-5-carboxylic acid {(S)-2-cyclohexyl-1-[3-oxo-1-(1-oxy-pyridine-2-sulfonyl)-azepan-4-ylcarbonyl]-ethyl}-amide;



5-(2-morpholin-4-yl-ethoxy)-benzofuran-2-carboxylic acid {(S)-2-cyclohexyl-1-[3-oxo-1-(1-oxy-pyridine-2-sulfonyl)-azepan-4-ylcarbonyl]-ethyl}-amide;



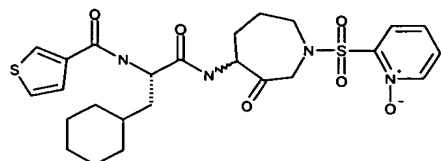
furan-2-carboxylic acid {(S)-2-cyclohexyl-1-[3-oxo-1-(1-oxy-pyridine-2-sulfonyl)-azepan-4-ylcarbonyl]-ethyl}-amide;



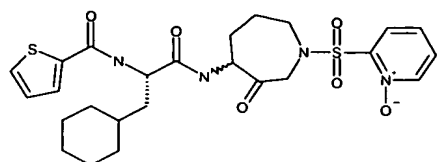
furan-3-carboxylic acid {(S)-2-cyclohexyl-1-[3-oxo-1-(1-oxy-pyridine-2-sulfonyl)-azepan-4-ylcarbonyl]-ethyl}-amide;

Predecessor Serial No.: 10/258,053

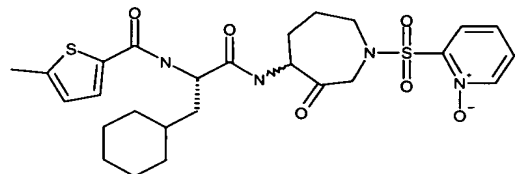
Group Art Unit No.:



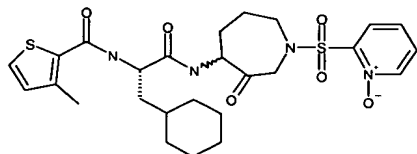
thiophene-3-carboxylic acid {(S)-2-cyclohexyl-1-[3-oxo-1-(1-oxy-pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl}-amide;



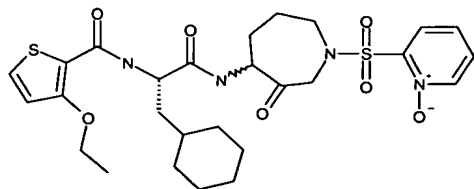
thiophene-2-carboxylic acid {(S)-2-cyclohexyl-1-[3-oxo-1-(1-oxy-pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl}-amide;



5-methyl-thiophene-2-carboxylic acid {(S)-2-cyclohexyl-1-[3-oxo-1-(1-oxy-pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl}-amide;



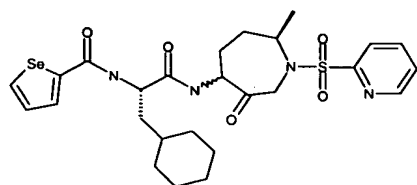
3-methyl-thiophene-2-carboxylic acid {(S)-2-cyclohexyl-1-[3-oxo-1-(1-oxy-pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl}-amide;



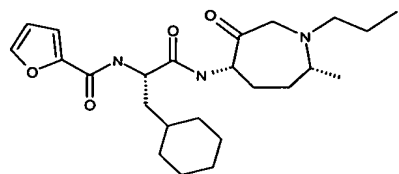
3-ethoxy-thiophene-2-carboxylic acid {(S)-2-cyclohexyl-1-[3-oxo-1-(1-oxy-pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl}-amide;

Predecessor Serial No.: 10/258,053

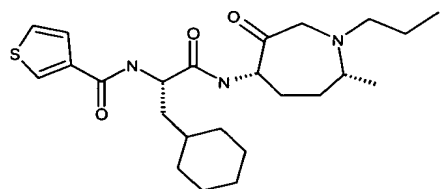
Group Art Unit No.:



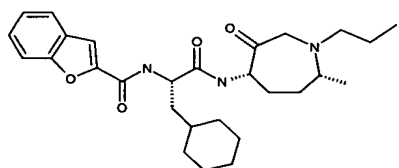
selenophene-2-carboxylic acid {(S)-2-cyclohexyl-1-[(R)-7-methyl-3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl}-amide;



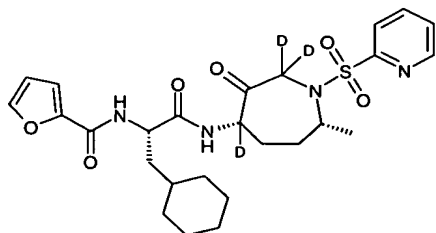
furan-2-carboxylic acid [(S)-2-cyclohexyl-1-((4S,7R)-7-methyl-3-oxo-1-propyl-azepan-4-ylcarbamoyl)-ethyl]-amide;



thiophene-3-carboxylic acid [(S)-2-cyclohexyl-1-((4S,7R)-7-methyl-3-oxo-1-propyl-azepan-4-ylcarbamoyl)-ethyl]-amide;



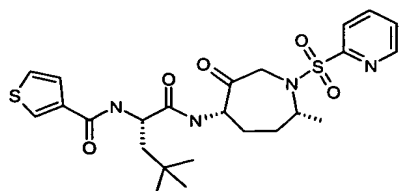
benzofuran-2-carboxylic acid [(S)-2-cyclohexyl-1-((4S,7R)-7-methyl-3-oxo-1-propyl-azepan-4-ylcarbamoyl)-ethyl]-amide;



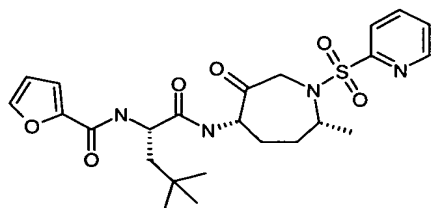
2,2,4-trideutero-Furan-2-carboxylic acid {(S)-2-cyclohexyl-1-[(4S,7R)-7-methyl-3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl}-amide;

Predecessor Serial No.: 10/258,053

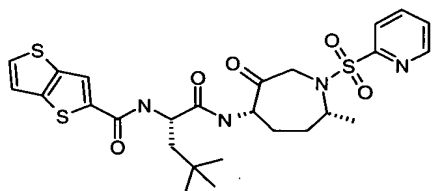
Group Art Unit No.:



thiophene-3-carboxylic acid {(S)-3,3-dimethyl-1-[(4S,7R)-7-methyl-3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-butyl}-amide;

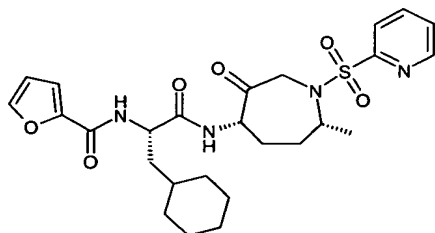


furan-2-carboxylic acid {(S)-3,3-dimethyl-1-[(4S,7R)-7-methyl-3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-butyl}-amide; and



thieno[3,2-b] thiophene-2-carboxylic acid {(S)-3,3-dimethyl-1-[(4S,7R)-7-methyl-3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-butyl}-amide.

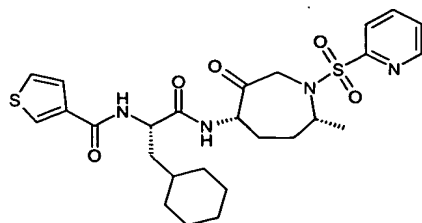
35. A compound according to Claim 34 selected from the group consisting of:



furan-2-carboxylic acid {(S)-2-cyclohexyl-1-[(4S,7R)-7-methyl-3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl}-amide; and

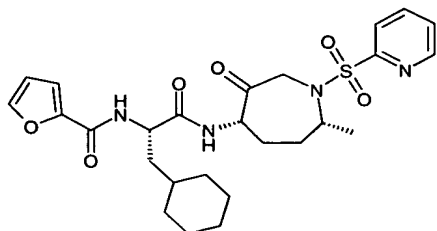
Predecessor Serial No.: 10/258,053

Group Art Unit No.:



thiophene-3-carboxylic acid {(S)-2-cyclohexyl-1-[(4S,7R)-7-methyl-3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl}-amide.

36. A compound according to Claim 35 which is:



furan-2-carboxylic acid {(S)-2-cyclohexyl-1-[(4S,7R)-7-methyl-3-oxo-1-(pyridine-2-sulfonyl)-azepan-4-ylcarbamoyl]-ethyl}-amide.

37. (Amended) A method of treatment and prevention of an autoimmune disease comprising inhibiting overexpression of cathepsin S by administering to a patient in need thereof an effective amount of a compound according to ~~any one of Claims 1 to 36~~Claim 1.

38. A method according to Claim 37 wherein said disease is selected from the group consisting of : rheumatoid arthritis, multiple sclerosis, juvenile-onset diabetes, sytemic lupus erythematosus, discoid lupus erythematosus, pemphigus vulgaris, pemphigoid, Grave's disease, myasthenia gravis, Hashimoto's thyroiditis, scleroderma, dermatomyositis, Addison's disease, pernicious anemia, primary myxoedema, thyrotoxicosis, autoimmune atrophic gastritis, stiff-man syndrome, Goodpasture's syndrome, sympathetic ophthalmia, phacogenic uveitis, autoimmune haemolytic anaemia, idiopathic thrombocytopenic purpura, idiopathic leucopenia, primary biliary cirrhosis, active chronic hepatitis, cryptogenic cirrhosis, ulcerative colitis, Sjogren's syndrome, and mixed connective tissue disease.

39. (Amended) A method of treatment or prevention of a disease state caused by the formation or complications of atherosclerotic lesions comprising inhibiting formation of said lesions or complications thereof by administering to a patient in need thereof an effective amount of a compound according to ~~any one of Claims 1 to 36~~Claim 1.

Predecessor Serial No.: 10/258,053
Group Art Unit No.:

40. (Amended) A method of treatment of a disease which requires for therapy inhibition of a class II MHC-restricted immune response, comprising inhibiting said class II MHC-restricted immune response by administering to a patient in need thereof an effective amount of a compound according to ~~any one of Claims 1 to 36~~Claim 1.

41. (Amended) A method of treatment of a disease which requires for therapy inhibition of an asthmatic response, comprising inhibiting said asthmatic response by administering to a patient in need thereof an effective amount of a compound according to ~~any one of Claims 1 to 36~~Claim 1.

42. (Amended) A method of treatment of a disease which requires for therapy inhibition of an allergic response, comprising inhibiting said allergic response by administering to a patient in need thereof an effective amount of a compound according to ~~any one of Claims 1 to 36~~Claim 1.

43. (Amended) A method of treatment of a disease which requires for therapy inhibition of an immune response against a transplanted organ or tissue, comprising inhibiting said immune response against a transplanted organ or tissue by administering to a patient in need thereof an effective amount of a compound according to ~~any one of Claims 1 to 36~~Claim 1.

44. (Amended) A method of treatment of a disease which requires for therapy inhibition of elastase activity in atheroma, comprising inhibiting said elastase activity in atheroma by administering to a patient in need thereof an effective amount of a compound according to ~~any one of Claims 1 to 36~~Claim 1.

45. (Cancelled)

46. (Cancelled)

47. (Cancelled)

48. (Cancelled)

49. (Cancelled)

50. (Cancelled)

51. (Cancelled)

52. (Cancelled)

53. (Cancelled)